6A 33925

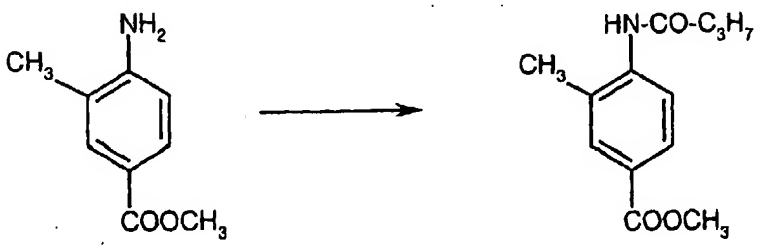
Method for producing N-butyryl-4-amino-3-methyl-methyl benzoate and the novel compound N-(4-bromo-2-methylphenyl)butanamide

This application is a divisional of 10/239,678, filed 24 September 2002, now U.S. Patent No. 6,620,962, which is a 371 of PCT/EP01/02924, filed 15 March 2001.

The present invention relates to an improved process for preparing methyl N-butyryl-4-amino-3-methylbenzoate and the novel chemical compound N-(4-bromo-2-methylphenyl)butanamide.

4'-[[2-n-Propyl-4-methyl-6-(1-methylbenzimidazol)-2-yl]methyl]biphenyl-2-carboxylic acid is a valuable angiotensin antagonist, in particular a valuable angiotensin II antagonist (see EP-A 502 314). In the following, these carboxylic acids are also known for short as antagonists.

In J. Med. Chem. 1993, 4040 a synthesis of the antagonist is described which starts from methyl 4-amino-3-methylbenzoate (I) and reacts it with butyryl chloride to give methyl N-butyryl-4-amino-3-methylbenzoate (II) (see the following reaction scheme (1)).



(I) (II) (1)

Compound (II) is then converted in further steps to the antagonist.

The required starting compound of the formula (I) is only accessible in a disadvantageous manner. For instance, 4-nitro-m-xylene (III) can be used as the starting material and converted by oxidation to 4-nitro-2-methylbenzoic acid (IV) (see Liebigs Ann. Chem. 144, 163 (1867)), which is then esterified to methyl 4-nitro-